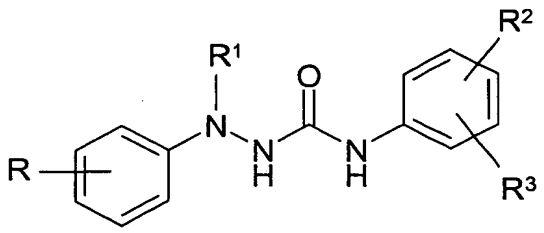
Patent Claims

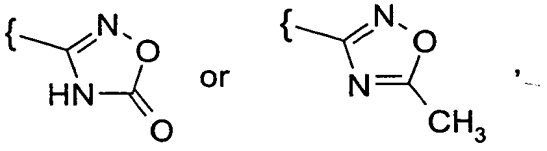
1. Compounds of the formula I



I

in which

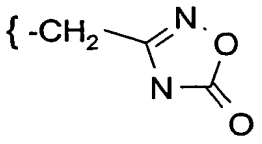
R is $C(=NH)-NH_2$, which may also be monosubstituted by OH, OCOOA, $OCOO(CH_2)_nN(A)_2$, $OCOO(CH_2)_m$-Het, $COO(CH_2)_nN(A)_2$, $COO(CH_2)_m$-Het, $CO-C(A)_2-R^4$, COOA, COSA, COOAr or COOAr',
or is CH_2NH_2,



R^1 is unbranched or branched alkyl having 1-20 carbon atoms, in which one or two CH_2 groups may be replaced by O or S atoms and/or also 1-7 H atoms may be replaced by F,
or is Ar or Ar',

R^2 is phenyl which is monosubstituted by $S(O)_pA$, $S(O)_pNHA$, CF_3, COOA or CH_2NHA,

R^3 is H or Hal,

R^4 is $-CHal_3$, O(C=O)A or  ,

Ar is phenyl which is unsubstituted or monosubstituted, disubstituted or trisubstituted by A, OH, OA, NH_2, NHA, NA_2, NO_2, CF_3, CN, Hal, COA, NHCOA, COOA, $CONH_2$, CONHA, $CONA_2$, $S(O)_pA$, $S(O)_pNH_2$, $S(O)_pNHA$ or $S(O)_pNA_2$,

Ar' is $-(CH_2)_n$-Ar,

A is H, or unbranched, branched or cyclic alkyl having 1-20 carbon atoms,

Het is a monocyclic or bicyclic saturated, unsaturated or aromatic heterocyclic radical having from 1 to 4 N, O and/or S atoms, which may be unsubstituted or monosubstituted or disubstituted by A,

Hal is F, Cl, Br or I,

n is 1, 2, 3, 4, 5 or 6,

m is 1, 2, 3, 4, 5 or 6,

p is 0, 1 or 2,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

2. Compounds according to Claim 1, in which

R is amidino, which may also be substituted by OH, or is CH_2NH_2,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1, in which

R^1 is phenyl, benzyl or alkyl having 1, 2, 3, 4, 5, 6 or 7 carbon atoms,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

4. Compounds according to one or more of Claims 1-3, in which
R^3 is H or F,
and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

5. Compounds according to one or more of Claims 1-4, in which
R^2 is a phenyl radical which is monosubstituted by alkylsulfonyl or aminosulfonyl,
and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

6. Compounds according to one or more of Claims 1-5, in which
R^2 is a phenyl radical which is monosubstituted by methylsulfonyl or aminosulfonyl,
and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

7. Compounds according to Claim 1, selected from the group consisting of

1-(3-N-hydroxyamidinophenyl)-4-(3-fluoro-2'-methylsulfonylbiphenyl-4-yl)-1-phenylsemicarbazide,
1-(3-amidinophenyl)-4-(3-fluoro-2'-methylsulfonylbiphenyl-4-yl)-1-phenylsemicarbazide,
1-(3-aminomethylphenyl)-4-(3-fluoro-2'-methylsulfonylbiphenyl-4-yl)-1-phenylsemicarbazide,

and pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios.

8. Process for the preparation of compounds of the formula I according to Claims 1-7 and pharmaceutically usable derivatives, solvates and stereoisomers thereof, characterised in that

a) they are liberated from one of their functional derivatives by treatment with a solvolysing and/or hydrogenolysing agent by

i) liberating an amidino group from its oxadiazole derivative or oxazolidinone derivative by hydrogenolysis or solvolysis,

ii) replacing a conventional amino-protecting group with hydrogen by treatment with a solvolysing or hydrogenolysing agent or
liberating an amino group protected by a conventional protecting group,

b) a radical R^1, R^2 and/or Y is converted into another radical R^1, R^2 and/or Y by

i) converting a cyano group into an amidino group,
ii) reducing an amide group to an aminoalkyl group,
iii) reducing a cyano group to an aminoalkyl group,

and/or
a base or acid of the formula I is converted into one of its salts.

9. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor Xa.

10. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor VIIa.

11. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and optionally excipients and/or adjuvants.

12. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.

13. Use of compounds according to Claims 1 to 7 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.

14. Set (kit) consisting of separate packs of

(a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios,

and

(b) an effective amount of a further medicament active ingredient.

15. Use of compounds of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates and stereoisomers thereof, including mixtures thereof in all ratios, for the preparation of a medicament for the treatment of thromboses, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases, in combination with at least one further medicament active ingredient.